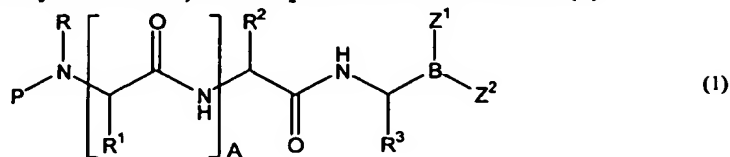


## AMENDMENTS TO THE CLAIMS

1. (Previously Presented) A compound of the formula (1):



wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> are each independently hydrogen, alkyl, cycloalkyl, aryl, or -CH<sub>2</sub>-R<sup>5</sup>;

R<sup>5</sup>, in each instance, is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or -W-R<sup>6</sup>, where W is a chalcogen and R<sup>6</sup> is alkyl;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, or R<sup>5</sup> can be optionally substituted; and

Z<sup>1</sup> and Z<sup>2</sup> together form a moiety derived from a sugar, wherein the atom attached to boron in each case is an oxygen atom.

2. (Original) The compound of claim 1, wherein the sugar is a monosaccharide or disaccharide.

3. (Original) The compound of claim 1, wherein the sugar is a reduced sugar.

4. (Previously Presented) The compound of claim 3, wherein the reduced sugar is sorbitol.

5. (Original) The compound of claim 1, wherein A is 0.

6.-7. (Canceled)

8. (Original) The compound of claim 1, wherein P is R<sup>7</sup>-C(O)-, R<sup>7</sup>-S(O)<sub>2</sub>-, R<sup>7</sup>-NH-C(O)-, or R<sup>7</sup>-O-C(O)-;

where R<sup>7</sup> is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R<sup>7</sup>-C(O)- or R<sup>7</sup>-S(O)<sub>2</sub>-, R<sup>7</sup> can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

9. (Original) The compound of claim 8, wherein P is R<sup>7</sup>-C(O)- or R<sup>7</sup>-S(O)<sub>2</sub>-, and R<sup>7</sup> is an aromatic heterocycle.

10. (Original) The compound of claim 9, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

11. (Original) The compound of claim 8, wherein

A is zero;

R is hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl; and

R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl.

12. (Previously Presented) The compound of claim 11, wherein P is (2-pyrazine)sulfonyl.

13. (Canceled)

14. (Original) The compound of claim 1, wherein

R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>6</sub>-C<sub>10</sub> aryl, or -CH<sub>2</sub>-R<sup>5</sup>;

R<sup>5</sup> in each instance is C<sub>6</sub>-C<sub>10</sub> aryl, (C<sub>6</sub>-C<sub>10</sub>)ar(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alk(C<sub>6</sub>-C<sub>10</sub>)aryl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>1</sub>-C<sub>8</sub> alkoxy, or C<sub>1</sub>-C<sub>8</sub> alkylthio; wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, or R<sup>5</sup> can be optionally substituted.

15. (Currently Amended) The compound of claim 1, wherein said compound is a sugar ester of a:

*N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid;

*N*-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronic acid;

*N*-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronic acid;

*N*-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronic acid;

*N*-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronic acid;

*N*-(8-quinoline)sulfonyl-β-(1-naphthyl)-L-alanine-L-leucine boronic acid;

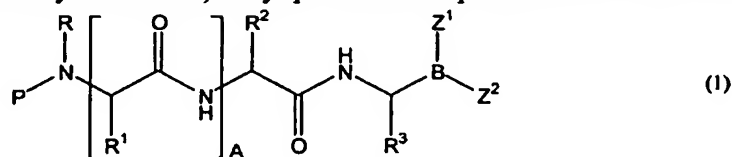
*N*-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine boronic acid;

*N*-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronic acid; or

*N*-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronic acid.

16. (Currently Amended) The compound of ~~claim 1, wherein~~ claim 1, wherein said compound is a sugar ester of a *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid.

17. (Previously Presented) A lyophilized compound of the formula (1):



wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> are each independently hydrogen, alkyl, cycloalkyl, aryl, or -CH<sub>2</sub>-R<sup>5</sup>;

R<sup>5</sup>, in each instance, is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or -

W-R<sup>6</sup>, where W is a chalcogen and R<sup>6</sup> is alkyl;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, or R<sup>5</sup> can be optionally substituted; and

Z<sup>1</sup> and Z<sup>2</sup> together form a moiety derived from a sugar, wherein the atom attached to boron in each case is an oxygen atom.

18. (Original) The compound of claim 17, wherein the sugar is a monosaccharide or disaccharide.

19. (Original) The compound of claim 17, wherein the sugar is a reduced sugar.

20. (Original) The compound of claim 17, wherein A is 0.

21. (Previously Presented) The compound of claim 19, wherein the reduced sugar is sorbitol.

22.-23. (Canceled)

24. (Original) The compound of claim 17, wherein P is R<sup>7</sup>-C(O)-, R<sup>7</sup>-S(O)<sub>2</sub>-, R<sup>7</sup>-NH-C(O)-, or R<sup>7</sup>-O-C(O)-;

where R<sup>7</sup> is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R<sup>7</sup>-C(O)- or R<sup>7</sup>-S(O)<sub>2</sub>-, R<sup>7</sup> can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

25. (Original) The compound of claim 24, wherein P is R<sup>7</sup>-C(O)- or R<sup>7</sup>-S(O)<sub>2</sub>-, and R<sup>7</sup> is an aromatic heterocycle.

26. (Original) The compound of claim 25, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

27. (Original) The compound of claim 24, wherein

A is zero;

R is hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl; and

R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl.

28. (Previously Presented) The compound of claim 27, wherein P is (2-pyrazine)sulfonyl.

29. (Canceled)

30. (Original) The compound of claim 17, wherein

R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>6</sub>-C<sub>10</sub> aryl, or -CH<sub>2</sub>-R<sup>5</sup>;

R<sup>5</sup> in each instance is C<sub>6</sub>-C<sub>10</sub> aryl, (C<sub>6</sub>-C<sub>10</sub>)ar(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alk(C<sub>6</sub>-C<sub>10</sub>)aryl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>1</sub>-C<sub>8</sub> alkoxy, or C<sub>1</sub>-C<sub>8</sub> alkylthio; wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, or R<sup>5</sup> can be optionally substituted.

31. (Currently Amended) The compound of claim 25, wherein said compound is a sugar ester of a:

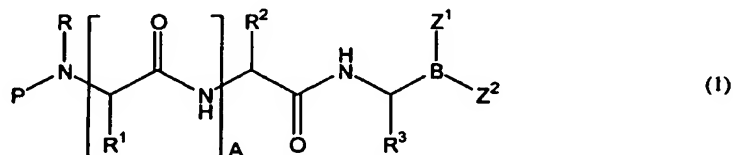
*N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid;  
*N*-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronic acid;  
*N*-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronic acid;  
*N*-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronic acid;  
*N*-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronic acid;  
*N*-(8-quinoline)sulfonyl-β-(1-naphthyl)-L-alanine-L-leucine boronic acid;  
*N*-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine boronic acid;  
*N*-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronic acid; or  
*N*-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronic acid.

32. (Currently Amended) The lyophilized compound of claim 25, wherein said compound is a sugar ester of a *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid.

33. (Original) The compound of claim 17, wherein the compound is stable at 0 °C for at least one month.

34. (Original) The compound of claim 17, wherein the compound is stable at 40 °C for at least one month.

35. (Previously Presented) A method of preparing a lyophilized compound of the formula (1):



wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> are each independently hydrogen, alkyl, cycloalkyl, aryl, or -CH<sub>2</sub>-R<sup>5</sup>;

R<sup>5</sup> in each instance is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or -

W-R<sup>6</sup>, where W is a chalcogen and R<sup>6</sup> is alkyl;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, or R<sup>5</sup> can be optionally substituted; and

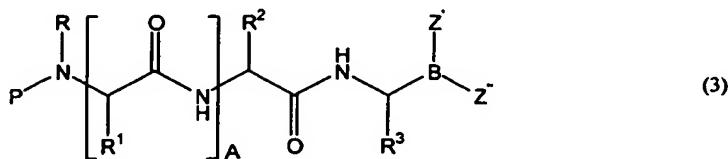
Z<sup>1</sup> and Z<sup>2</sup> together form a moiety derived from a sugar;

the method comprising:

(a) preparing a mixture comprising

(i) water,

(ii) a compound of formula (3).



wherein P, R, A, R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> are as described above; and

Z<sup>1</sup> and Z<sup>2</sup> are OH; and

(iii) a sugar; and

(b) lyophilizing the mixture.

36. (Original) The method of claim 35, wherein the sugar is a monosaccharide or disaccharide.

37. (Original) The method of claim 35, wherein the sugar is a reduced sugar.

38. (Previously Presented) The method of claim 37, wherein the reduced sugar is sorbitol.

39.-40. (Canceled)

41. (Original) The method of claim 35, wherein P is  $R^7$ -C(O)-,  $R^7$ -S(O)<sub>2</sub>-,  $R^7$ -NH-C(O)-, or  $R^7$ -O-C(O)-;

where  $R^7$  is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is  $R^7$ -C(O)- or  $R^7$ -S(O)<sub>2</sub>-,  $R^7$  can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

42. (Original) The method of claim 41, wherein P is  $R^7$ -C(O)- or  $R^7$ -S(O)<sub>2</sub>-, and  $R^7$  is an aromatic heterocycle.

43. (Original) The method of claim 42, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

44. (Original) The method of claim 35, wherein

A is zero;

R is hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl; and

R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl.

45. (Previously Presented) The method of claim 44, wherein P is (2-pyrazine)sulfonyl.

46. (Original) The method of claim 35, wherein

R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> are each independently hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>6</sub>-C<sub>10</sub> aryl, or -CH<sub>2</sub>-R<sup>5</sup>;

R<sup>5</sup> in each instance is C<sub>6</sub>-C<sub>10</sub> aryl, (C<sub>6</sub>-C<sub>10</sub>)ar(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alk(C<sub>6</sub>-C<sub>10</sub>)aryl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>1</sub>-C<sub>8</sub> alkoxy, or C<sub>1</sub>-C<sub>8</sub> alkylthio;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, or R<sup>5</sup> can be optionally substituted.

47. (Original) The method of claim 35, wherein the compound of formula (3) is:

*N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid;  
*N*-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronic acid;  
*N*-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronic acid;  
*N*-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronic acid;  
*N*-(4-morpholine)carbonyl- $\beta$ -(1-naphthyl)-L-alanine-L-leucine boronic acid;  
*N*-(8-quinoline)sulfonyl- $\beta$ -(1-naphthyl)-L-alanine-L-leucine boronic acid;  
*N*-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine boronic acid;  
*N*-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronic acid; or  
*N*-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronic acid.

48. (Canceled)

49. (Original) The method of claim 47, wherein the compound of formula (3) is *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid.

50. (Original) The method of claim 35, wherein the mixture further comprises a water-miscible solvent.

51. (Original) The method of claim 50, wherein the water-miscible solvent is an alcohol.

52. (Original) The method of claim 51, wherein the alcohol is *tert*-butanol.

53. (Previously Presented) The method of claim 35, wherein the sugar and the compound of formula (3) are present in at least a 1:1 ratio.

54. (Previously Presented) The method of claim 35, wherein the sugar and the compound of formula (3) are present in at least a 5:1 ratio.

55. (Original) A lyophilized cake comprising the compound of claim 17.

56. (Previously Presented) A composition comprising the compound of claim 1 and a pharmaceutically-acceptable carrier.

57. (Previously Presented) A composition comprising the compound of claim 8 and a pharmaceutically-acceptable carrier.

58. (Previously Presented) A composition comprising the compound of claim 12 and a pharmaceutically-acceptable carrier.

59. (Previously Presented) A composition comprising the compound of claim 16 and a pharmaceutically-acceptable carrier.

60. (Previously Presented) A composition comprising the compound of claim 17 and a pharmaceutically-acceptable carrier.

61. (Previously Presented) A composition comprising the compound of claim 24 and a pharmaceutically-acceptable carrier.

62. (Previously Presented) A composition comprising the compound of claim 28 and a pharmaceutically-acceptable carrier.

63. (Previously Presented) A composition comprising the compound of claim 32 and a pharmaceutically-acceptable carrier.

64. (Previously Presented) A lyophilized cake comprising the compound of claim 24.

65. (Previously Presented) A lyophilized cake comprising the compound of claim 28.

66. (Previously Presented) A lyophilized cake comprising the compound of claim 32.

67. (Previously Presented) The method of claim 45, wherein A is 0.

68. (Previously Presented) The method of claim 35 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

69. (Previously Presented) The method of claim 41 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

70. (Previously Presented) The method of claim 45 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

71. (Previously Presented) The method of claim 49 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.



72. (Previously Presented) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 35 and (ii) a pharmaceutically-acceptable carrier.

73. (Previously Presented) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 41 and (ii) a pharmaceutically-acceptable carrier.

74. (Previously Presented) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 45 and (ii) a pharmaceutically-acceptable carrier.

75. (Previously Presented) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 49 and (ii) a pharmaceutically-acceptable carrier.

76. (Previously Presented) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 35.

77. (Previously Presented) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 41.

78. (Previously Presented) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 45.

79. (Previously Presented) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 49.

80. (Previously Presented) The compound of claim 11, wherein P is (2-pyrazine)carbonyl.

81. (Previously Presented) A composition comprising the compound of claim 80 and a pharmaceutically-acceptable carrier.

82. (Previously Presented) The compound of claim 27, wherein P is (2-pyrazine)carbonyl.

83. (Previously Presented) A composition comprising the compound of claim 82 and a pharmaceutically-acceptable carrier.

84. (Previously Presented) A lyophilized cake comprising the compound of claim 82.

85. (Previously Presented) The method of claim 44, wherein P is (2-pyrazine)carbonyl.

86. (Previously Presented) A composition comprising the compound of claim 85 and a pharmaceutically-acceptable carrier.

87. (Previously Presented) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 85.

88. (Previously Presented) The compound of claim 1, wherein P and R together form a cyclic moiety.

89. (Previously Presented) The compound of claim 88, wherein Z<sup>1</sup> and Z<sup>2</sup> together form a moiety derived from a monosaccharide or disaccharide.

90. (Previously Presented) The compound of claim 89, wherein  
A is zero;  
R is hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl;  
R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl; and  
P is (2-pyrazine)carbonyl.

91. (Previously Presented) A composition comprising the compound of claim 88 and a pharmaceutically-acceptable carrier.

92. (Previously Presented) A composition comprising the compound of claim 89 and a pharmaceutically-acceptable carrier.

93. (Previously Presented) A composition comprising the compound of claim 90 and a pharmaceutically-acceptable carrier.

94. (Previously Presented) The compound of claim 17, wherein P and R together form a cyclic moiety.

95. (Previously Presented) The compound of claim 94, wherein  $Z^1$  and  $Z^2$  together form a moiety derived from a monosaccharide or disaccharide.

96. (Previously Presented) The compound of claim 95, wherein

A is zero;

R is hydrogen or  $C_1$ - $C_8$  alkyl;

$R^3$  is  $C_1$ - $C_6$  alkyl; and

P is (2-pyrazine)carbonyl.

97. (Previously Presented) A composition comprising the compound of claim 94 and a pharmaceutically-acceptable carrier.

98. (Previously Presented) A composition comprising the compound of claim 95 and a pharmaceutically-acceptable carrier.

99. (Previously Presented) A composition comprising the compound of claim 96 and a pharmaceutically-acceptable carrier.

100. (Previously Presented) A lyophilized cake comprising the compound of claim 94.

101. (Previously Presented) A lyophilized cake comprising the compound of claim 95.

102. (Previously Presented) A lyophilized cake comprising the compound of claim 96.

103. (Previously Presented) The method of claim 35, wherein P and R together form a cyclic moiety.

104. (Previously Presented) The method of claim 103, wherein  $Z^1$  and  $Z^2$  together form a moiety derived from a monosaccharide or disaccharide.

105. (Previously Presented) The method of claim 104, wherein

A is zero;  
R is hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl;  
R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl; and  
P is (2-pyrazine)carbonyl.

106. (Previously Presented) The method of claim 103 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

107. (Previously Presented) The method of claim 104 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

108. (Previously Presented) The method of claim 105 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

109. (Previously Presented) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 103 and (ii) a pharmaceutically-acceptable carrier.

110. (Previously Presented) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 104 and (ii) a pharmaceutically-acceptable carrier.

111. (Previously Presented) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 105 and (ii) a pharmaceutically-acceptable carrier.

112. (Previously Presented) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 103.

113. (Previously Presented) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 104.

114. (Previously Presented) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 105.